

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Jeffrey E. Russell Examiner #: 62785 Date: 3-25-2005
 Art Unit: 1654 Phone Number: 571-272-6969 Serial Number: 10/666,095
 Mail Box and Bldg/Room Location: _____ Results Format Preferred (circle): PAPER DISK E-MAIL

REM 3018 (mailbox), 3019 (office)

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Anh-Fibril Peptides

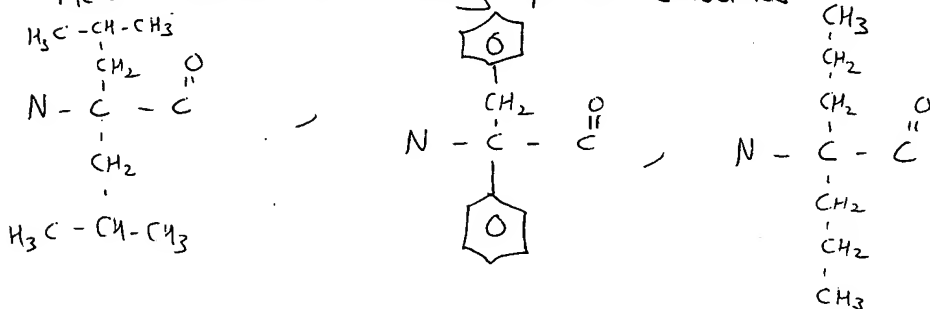
Inventors (please provide full names): R. Hammer, Y. Fu, J. Aucoin, T. Miller, M. McLoughlin,

R. McCerley

Earliest Priority Filing Date: 9-18-2003

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the following partial structures:



Please search for the use of these compounds to form peptides or polypeptides.

Please also search for them in the context of amyloid, beta-sheet, fibril, alzheimer, parkinson, diabetes.

Thank you.

JER

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Chub _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
Searcher Prep. Review Time: _____	Fulltext _____	Sequence Systems _____
Critical Prep. Time: _____	Patent Family _____	WWW/Internet: _____
Online Time: _____	Other _____	Other (specify) _____

Russel
10/666095

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.43	742.17
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-11.68

FILE 'REGISTRY' ENTERED AT 16:19:58 ON 25 MAR 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAR 2005 HIGHEST RN 847222-24-6
DICTIONARY FILE UPDATES: 24 MAR 2005 HIGHEST RN 847222-24-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

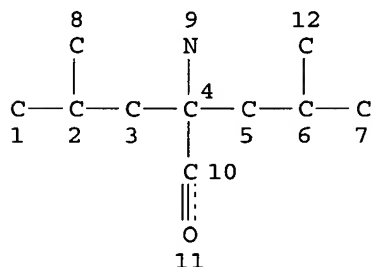
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> => d l13 que stat;fil hcaplus;s l13
L1 STR



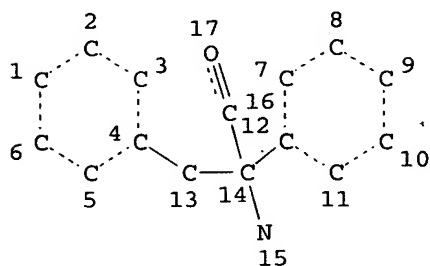
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 12

Searched by: Mary Hale 571-272-2507 REM 1D86

STEREO ATTRIBUTES: NONE

L3 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

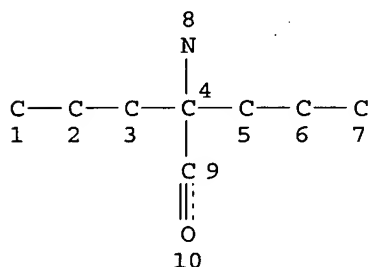
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NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L5 STR



NODE ATTRIBUTES:

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DEFAULT ECLEVEL IS LIMITED

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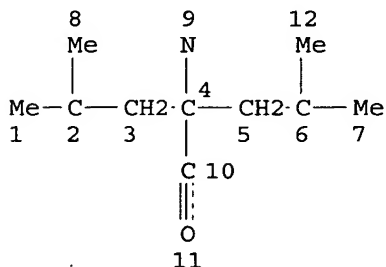
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L8 689 SEA FILE=REGISTRY SSS FUL L1 OR L3 OR L5

L9 STR

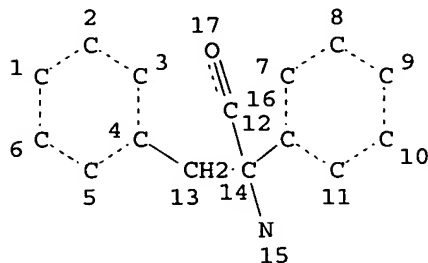


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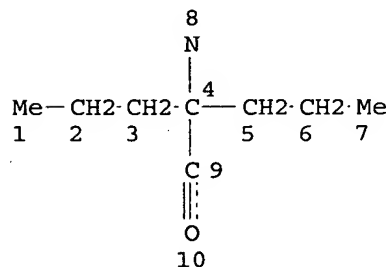
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE
L10                STR
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STEREO ATTRIBUTES: NONE
L11          STR
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STEREO ATTRIBUTES: NONE
L13 353 SEA FIL

353 SEA FILE=REGISTRY SUB=L8 SSS FUL L11 OR L10 OR L9

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100.0% PROCESSED      689 ITERATIONS
SEARCH TIME: 00.00.01
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353 ANSWERS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
372.45	1114.62

FULL ESTIMATED COST

372.45	1114.62
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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Searched by: Mary Hale 571-272-2507 REM 1D86

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ENTRY	SESSION
0.00	-11.68

FILE 'HCAPLUS' ENTERED AT 16:26:05 ON 25 MAR 2005
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FILE COVERS 1907 - 25 Mar 2005 VOL 142 ISS 14
FILE LAST UPDATED: 24 Mar 2005 (20050324/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

L14 130 L13

=>

=> s amyloid? or beta sheet or fibril? or alzheimer? or parkinson? or diabet? or dementia or senil?

22011 AMYLOID?

1306406 BETA

1327 BETAS

1306477 BETA

(BETA OR BETAS)

260343 SHEET

162659 SHEETS

339024 SHEET

(SHEET OR SHEETS)

11206 BETA SHEET

(BETA(W) SHEET)

38559 FIBRIL?

33946 ALZHEIMER?

20396 PARKINSON?

110282 DIABET?

10419 DEMENTIA

513 DEMENTIAS

10570 DEMENTIA

(DEMENTIA OR DEMENTIAS)

21809 SENIL?

L15 230832 AMYLOID? OR BETA SHEET OR FIBRIL? OR ALZHEIMER? OR PARKINSON?
OR DIABET? OR DEMENTIA OR SENIL?

=> s l14 and l15

L16 4 L14 AND L15

=> d 1-4 ibib abs hitstr

Searched by: Mary Hale 571-272-2507 REM 1D86

L16 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:551539 HCAPLUS
DOCUMENT NUMBER: 139:117688
TITLE: Preparation of cyclic tetrapeptides as histone deacetylase inhibitors
INVENTOR(S): Satoh, Shigeki; Urano, Yasuharu; Osoda, Kazuhiko; Hosaka, Mitsuru; Sawada, Kozo; Inoue, Takayuki; Mori, Hiroaki; Takagaki, Shoji; Fujimura, Takao; Matsuoka, Hideaki; Yoshizawa, Katsuhiko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 447 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057722	A2	20030717	WO 2002-JP13754	20021227
WO 2003057722	A3	20040422		
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LJ, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1458746	A2	20040922	EP 2002-806084	20021227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LJ, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.: AU 2001-9779 A 20011228				
AU 2002-952117 A 20021010				
WO 2002-JP13754 W 20021227				

OTHER SOURCE(S): MARPAT 139:117688
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclic tetrapeptides I [R1 is H; R2 is lower alkyl, aryl, (un)substituted arylalkyl, heterocyclialkyl, cycloalkylalkyl, alkylcarbamoylalkyl, arylcarbamoylalkyl; R3, R4 are H, (un)substituted arylalkyl or heterocyclialkyl, cycloalkylalkyl; or R3 and R4 are linked to form lower alkylene or a condensed ring or one of R3 and R4 is linked to the adjacent nitrogen atom to form a ring; R5 is H or alkyl; X is CH2 or CH2CH2; Z is alkylene or alkenylene; R6 is CR7R8R9 or NR7R8R9, where R7 is H, halo or optionally protected hydroxy, R8 is H, halo, alkyl or Ph, and R9 is H or alkyl] or their salts were prepared histone deacetylase inhibitors.
Thus, compound II (Bn = benzyl) was prepared and shown to have IC50 < 100 nM and <

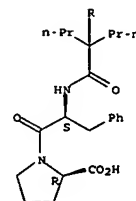
L16 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



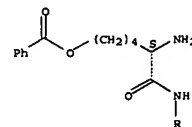
L16 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
50 nM, resp., for inhibition of histone deacetylase and T-cell growth.
IT 561037-68-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Preparation of cyclic tetrapeptides as histone deacetylase inhibitors)
RN 561037-68-1 HCAPLUS
CN D-Proline, 6-(benzoyloxy)-L-norleucyl-2-propylnorvalyl-L-phenylalanyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
CH 1
CRN 561037-67-0
CMF C35 H48 N4 O7

Absolute stereochemistry.

PAGE 1-A



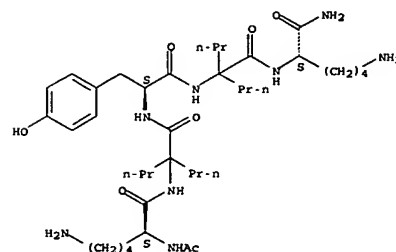
PAGE 2-A



CH 2
CRN 76-05-1
CMF C2 H F3 O2

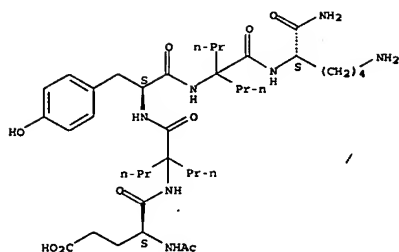
L16 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:692434 HCAPLUS
DOCUMENT NUMBER: 138:354205
TITLE: Design, synthesis, and conformational studies of short peptides containing C(omega)-dipropylglycine and dibenzylglycine
AUTHOR(S): Fu, Yanwen; Zhou, Zhe; Treleaven, W. Dale; Escobedo, Jorge; Hammer, Robert P.
CORPORATE SOURCE: Department of Chemistry, Louisiana State University, Baton Rouge, LA, 70803, USA
SOURCE: Peptides: The Nave of the Future, Proceedings of the Second International and the Seventeenth American Peptide Symposium, San Diego, CA, United States, June 9-14, 2001 (2001), 458-459. Editor(s): Lebl, Michal; Houghten, Richard A. American Peptide Society; San Diego, Calif.
CODEN: 69DBAL; ISBN: 0-9715560-0-8
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report. Several pentapeptides containing C(omega)-dipropylglycine (Dpg) and dibenzylglycine (Dbg) at alternating sequence positions and their norvaline (Nva) analogs were prepared. Conformational analysis of the peptides was done by NMR, CD and mol. modeling methods.
IT 519177-38-9P 519177-39-0P 519177-40-3P
519177-41-4P 519177-42-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and conformation anal. of peptides containing dialkylglycines)
RN 519177-38-9 HCAPLUS
CN L-Lysinamide, N2-acetyl-L-lysyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



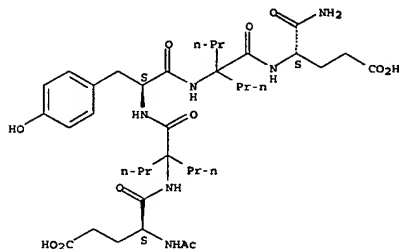
RN 519177-39-0 HCAPLUS
CN L-Lysinamide, N2-acetyl-L-lysyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519177-40-3 HCAPLUS
 CN L-α-Glutamine, N-acetyl-L-α-glutamyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



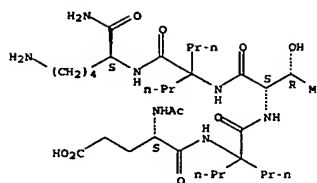
RN 519177-41-4 HCAPLUS
 CN L-Lysinamide, N-acetyl-L-α-glutamyl-2-propylnorvalyl-L-threonyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

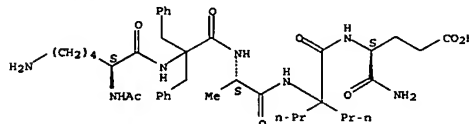
ACCESSION NUMBER: 1991:556894 HCAPLUS
 DOCUMENT NUMBER: 115:156894
 TITLE: Role of peptide backbone conformation on biological activity of chemotactic peptides
 AUTHOR(S): Dentino, Andrew R.; Raj, Periatnamby Antony; Krishna K.; Wilson, Mark E.; Levine, Michael J.
 CORPORATE SOURCE: Dent. Res. Inst., State Univ. New York, Buffalo, NY, 14214, USA
 SOURCE: Journal of Biological Chemistry (1991), 266(28), 18460-8
 CODEN: JBCHA3; ISSN: 0021-9258
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB To investigate the role of peptide backbone conformation on the biological activity of chemotactic peptides, a unique analog of N-formyl-Met-Leu-Phe-OH was synthesized incorporating the Cα,α disubstituted residue, dipopylglycine (Dpg) in place of Leu. The conformation of the stereocenter, constrained Dpg analog was examined in the crystalline state by x-ray diffraction and in solution using NMR, IR, and CD methods. The secretagogue activity of the peptide on human neutrophils was determined and compared with that of a stereochem. constrained, folded type II β-turn analog incorporating 1-aminocyclohexanecarboxylic acid (Ac6c) at position 2 (f-Met-Ac6c-Phe-OMe), the parent peptide (f-Met-Leu-Phe-OH), and its Me ester derivative (f-Met-Leu-Phe-OMe). In the solid state, the Dpg analog adopts an extended β-sheet-like structure with an intramol. hydrogen bond between the NH and CO groups of the Dpg residue, thereby forming a fully extended (C5) conformation at position 2. The φ and ψ values for Met and Phe residues are significantly lower than the values expected for an ideal antiparallel β conformation causing a twist in the extended backbone both at the N and C termini.
 NMR studies suggest the presence of a significant population of the peptide mols. in an extended antiparallel β conformation and the involvement of Dpg NH in a C5 intramol. hydrogen bond in solns. of deuterated chloroform and deuterated DMSO. IR studies provide evidence for the presence of an intramol. hydrogen bond in the mol. and the antiparallel extended conformation in chloroform solution. CD spectra in methanol, trifluoroethanol, and tri-Me phosphate indicate that the Dpg peptide shows slight conformational flexibility, whereas the folded Ac6c analog is quite rigid. The extended Dpg peptide consistently shows the highest activity in human peripheral blood neutrophils, being .apprx.8- and 16-fold more active than the parent peptide and the folded Ac6c analog, resp.
 However, the finding that all 4 peptides have ED50 (the molar concentration of peptide to induce half-maximal enzyme release) values in the 10-8-10-9 M range suggests that an induced fit mechanism may indeed be important in this ligand-receptor interaction. Moreover, it is also possible that alterations in the backbone conformation at the tripeptide level may not significantly alter the side chain topog. and/or the accessibility of key functional groups important for interaction with the receptor.
 IT 136427-57-1P

Searched by: Mary Hale 571-272-2507 REM 1D86



RN 519177-42-5 HCAPLUS
 CN L-α-Glutamine, N2-acetyl-L-lysyl-α-(phenylmethyl)phenylalanyl-L-alanyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

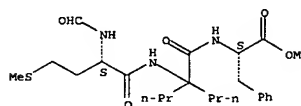


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L16 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RL: PREP (Preparation)
 (prepn. and secretagogue activity on human neutrophils of. structure in relation to)
 RN 136427-57-1 HCAPLUS
 CN L-Phenylalanine, N-formyl-L-methionyl-2-propylnorvalyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



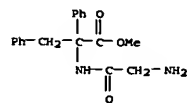
L16 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:485433 HCAPLUS
DOCUMENT NUMBER: 115:85433
TITLE: Use of arylalkylamides in the treatment of neurodegenerative diseases
INVENTOR(S): Griffith, Ronald Conrad; Napier, James Joseph
PATENT ASSIGNEE(S): Pisona Corp., USA
SOURCE: Eur. Pat. Appl., 6 pp.
CODEN: EPXXDM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 427427	A2	19910515	EP 1990-311658	19901024
EP 427427	A3	19920115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 114388	A1	19990126	IL 1990-114388	19900206
AU 9050927	A1	19910903	AU 1990-50927	19900207
AU 654802	B2	19941124		
AU 9064918	A1	19910502	AU 1990-64918	19901023
AU 639722	B2	19930805		
ZA 9008490	A	19910731	ZA 1990-8490	19901023
CA 2028645	AA	19910428	CA 1990-2028645	19901026
JP 03209355	A2	19910912	JP 1990-287368	19901026
JP 2765698	B2	19980618		
US 5430044	A	19950704	US 1992-915489	19920716
US 5331007	A	19940719	US 1992-918158	19920720
NO 9203006	A	19920730	NO 1992-3006	19920730
FI 9203540	A	19920806	FI 1992-3540	19920806
FI 111712	B1	20030915		
US 5559120	A	19960723	US 1995-399030	19950306
US 5605916	A	19970225	US 1995-398850	19950306
PRIORITY APPLN. INFO.:				
			US 1989-427661	A 19891027
			US 1987-11982	B2 19870206
			US 1988-232566	B2 19880812
			IL 1990-93286	A0 19900206
			WO 1990-GB184	A 19900207
			US 1992-915489	A3 19920716

OTHER SOURCE(S): MARPAT 115:85433
AB Arylalkylamides are used for treating neurodegenerative diseases. Arylalkylamide is 2-amino-N-(1,2-diphenyl-1-methylethyl)acetamide (I), 2-amino-N-(1,2-diphenylethyl)acetamide (II), 2-amino-N-(1,2-bis(4-fluorophenyl)-1-methylethyl)acetamide, 2-amino-N-(1-ethyl-1,2-diphenylethyl)acetamide, 2-amino-N-(1,2-diphenyl-1-(methoxycarbonyl)ethyl)acetamide, 2-amino-N-methyl-N-(1,2-diphenylethyl)acetamide, or 2-amino-N-methyl-N-(1,2-diphenyl-1-methylethyl)acetamide. The neurodegenerative diseases include cerebral ischemia or palsy, hypoglycemia, Alzheimer's disease, Huntington's chorea, Olivopontocerebellar atrophy, perinatal asphyxia, anoxia, and stroke. Thus, I and II effectively prevented N-methyl-D,L-aspartate-induced seizures and subsequent mortality in mice.

L16 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT 118754-10-2
RL: BIOL (Biological study)
(treatment of neurodegenerative diseases with)
RN 118754-10-2 HCAPLUS
CN L-Phenylalanine, N-glycyl- α -phenyl-, methyl ester (9CI) (CA INDEX NAME)




```

=> s hammer r?/au;s fu y?/au;s aucoin j?/au;s miller t?/au;s mclaughlin m?/au;s
mccorley r?/au
L17          711 HAMMER R?/AU

L18          3332 FU Y?/AU

L19          19 AUCOIN J?/AU

L20          2909 MILLER T?/AU

L21          542 MCLAUGHLIN M?/AU

L22          0 MCCORLEY R?/AU

=> s l17 and l18 and l19 and l20 and l21
L23          0 L17 AND L18 AND L19 AND L20 AND L21

=> s l14 and (l17 or l18 or l19 or l20 or l21)
L24          4 L14 AND (L17 OR L18 OR L19 OR L20 OR L21)

=> s l24 not l16
L25          3 L24 NOT L16

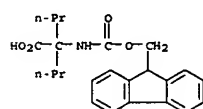
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L25 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS ON STN

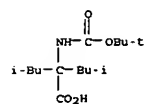
ACCESSION NUMBER: 2003:893139 HCAPLUS
DOCUMENT NUMBER: 140:94278
TITLE: Facile Synthesis of α,α -Diisobutylylglycine and Anchoring its Derivatives onto PAL-PEG-PS Resin
AUTHOR(S): Fu, Yanwen; Etienne, Marcus A.; Hammar, Robert P.
CORPORATE SOURCE: Department of Chemistry, Louisiana State University, Baton Rouge, LA, 70803, USA
SOURCE: Journal of Organic Chemistry (2003), 68(25), 9854-9857
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB α,α -Diisobutylylglycine (Dibg) was synthesized using a Pd-mediated dialkylation of Et nitroacetate as a key first step. The free α,α -diisobutylylglycine was Na-protected and was applied to solid-phase synthesis of a conformationally constrained peptide. Thus, peptide H-(Lys)7-Dibg-Val-Dibg-Phe-Dpg-NH₂ (Dibg = α,α -dibenzylglycine, Dpg = α,α -dipropylglycine) was obtained in superior quality by using a trialkoxybenzyl linker on PEG-PS grafted support, to which Fmoc-Dpg-OH was attached by a mixed anhydride method. IT 218926-47-7
RL: RCT (Reactant); RACT (Reactant or reagent) (alkylation of nitroacetate for preparation of (diisobutyl)glycine and its use in peptide synthesis using PAL-PEG-PS as a solid support)
RN 218926-47-7 HCAPLUS
CN Norvaline, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-propyl- (9CI) (CA INDEX NAME)



IT 99863-59-9 397299-36-4P 521928-77-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (alkylation of nitroacetate for preparation of (diisobutyl)glycine and its use in peptide synthesis using PAL-PEG-PS as a solid support)
RN 99863-59-9 HCAPLUS
CN Leucine, 2-(2-methylpropyl)- (9CI) (CA INDEX NAME)

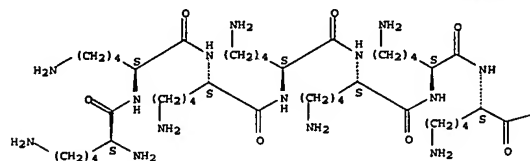
L25 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



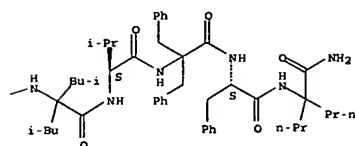
RN 642471-66-7 HCAPLUS
CN Norvalinamide, L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-2-(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-B

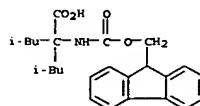


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RECORD
FORMAT

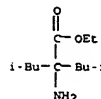
L25 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 397299-36-4 HCAPLUS
CN Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-(2-methylpropyl)- (9CI) (CA INDEX NAME)

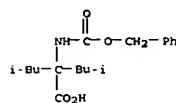


RN 521928-77-8 HCAPLUS
CN Leucine, 2-(2-methylpropyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT 134173-00-5P 642471-65-6P 642471-66-7P
RL: SPN (Synthetic preparation); PREP (Preparation) (alkylation of nitroacetate for preparation of (diisobutyl)glycine and its use in peptide synthesis using PAL-PEG-PS as a solid support)

RN 134173-00-5 HCAPLUS
CN Leucine, 2-(2-methylpropyl)-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)



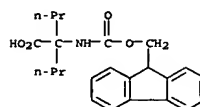
RN 642471-65-6 HCAPLUS
CN Leucine, N-[(1,1-dimethylethoxy)carbonyl]-2-(2-methylpropyl)- (9CI) (CA INDEX NAME)

L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2001:924458 HCAPLUS
DOCUMENT NUMBER: 136:167687
TITLE: Efficient acylation of the N-terminus of highly hindered α,α -disubstituted amino acids via amino acid symmetrical anhydrides
AUTHOR(S): Fu, Yanwen; Hammar, Robert P.
CORPORATE SOURCE: Department of Chemistry, Louisiana State University, Baton Rouge, LA, 70803, USA
SOURCE: Organic Letters (2002), 4(2), 237-240
CODEN: ORLEP7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167687

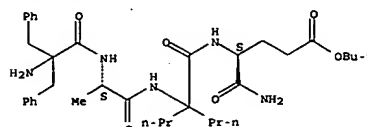
AB Fmoc (Fmoc = 9-fluorenylmethoxycarbonyl) amino acid sym. anhydrides are efficient and readily available reagents for acylation of the N-terminus of highly hindered α,α -disubstituted amino acids. Comparison of a variety of coupling protocols showed that the sym. anhydride method always provided the superior results. This method was successfully applied to the solid-phase synthesis of a peptide containing three α AAs at alternating positions.

IT 218926-47-7 376630-86-3D, resin-bound
397299-08-0D, resin-bound 397299-10-4D, resin-bound
397299-17-1D, resin-bound 397299-36-4
RL: RCT (Reactant); RACT (Reactant or reagent) (acylation of dialkylated amino acids via amino acid sym. anhydrides and application of this method to solid phase synthesis of peptide)
RN 218926-47-7 HCAPLUS
CN Norvaline, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-propyl- (9CI) (CA INDEX NAME)



RN 376630-86-3 HCAPLUS
CN L- α -Glutamine, α -(phenylmethyl)phenylalanyl-L-alanyl-2-propylnorvalyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

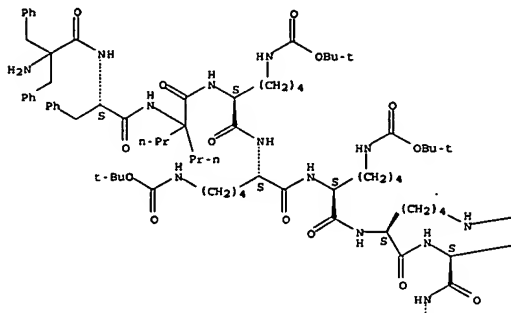
RN 397299-08-0 HCAPLUS

CN L-Lysinamide, α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-

dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI)
(CA INDEX NAME)

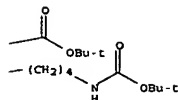
Absolute stereochemistry.

PAGE 1-A

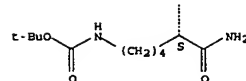


L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A



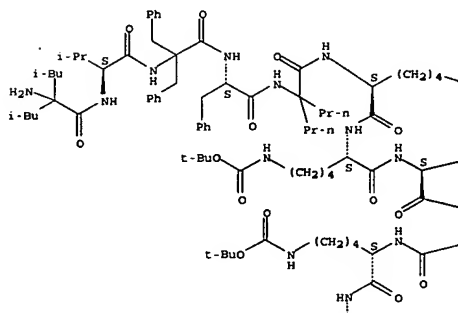
RN 397299-10-4 HCAPLUS

CN L-Lysinamide, 2-(2-methylpropyl)leucyl-L-valyl- α -(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

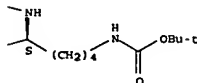
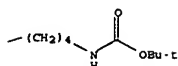
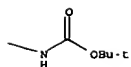
Absolute stereochemistry.

L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

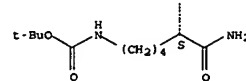


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L25 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

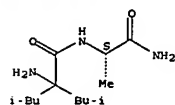
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RN 397299-17-1 HCAPLUS

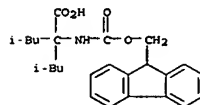
CN L-Alaninamide, 2-(2-methylpropyl)leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 397299-36-4 HCAPLUS

CN Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-2-(2-methylpropyl)- (9CI) (CA INDEX NAME)



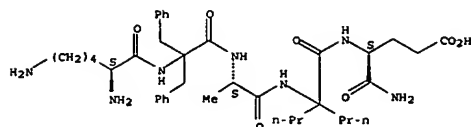
IT 376630-87-4P 397298-97-4P 397299-12-6DP, resin-bound 397299-14-8DP, resin-bound 397299-21-7P 397299-24-0P 397299-27-3P 397299-30-8P 397299-33-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (acylation of dialkylated amino acids via amino acid sym. anhydrides and application of this method to solid phase synthesis of peptide)

RN 376630-87-4 HCAPLUS

CN L- α -Glutamine, L-lysyl- α -(phenylmethyl)phenylalanyl-L-alanyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



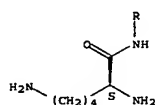
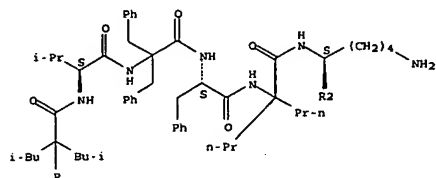
RN 397298-97-4 HCAPLUS

CN L-Lysinamide, L-lysyl-2-(2-methylpropyl)leucyl-L-valyl-α-

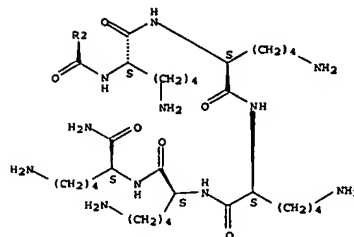
(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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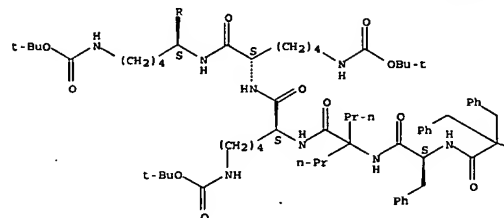


RN 397299-12-6 HCAPLUS

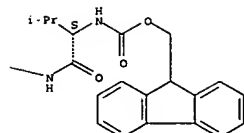
CN L-Lysinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-valyl-α-(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

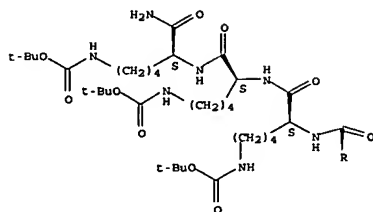
PAGE 1-A



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PAGE 2-A

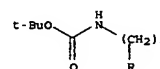
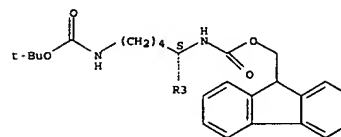


RN 397299-14-8 HCAPLUS

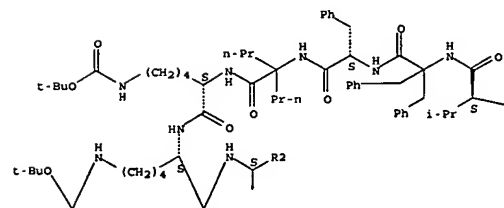
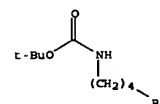
CN L-Lysinamide, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-2-(2-methylpropyl)leucyl-L-valyl-α-(phenylmethyl)phenylalanyl-L-phenylalanyl-2-propylnorvalyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

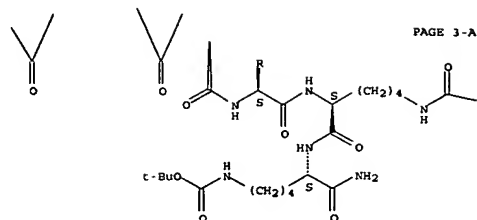
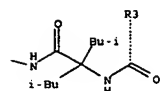
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PAGE 2-A



PAGE 2-B

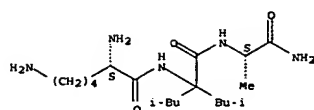


PAGE 3-A

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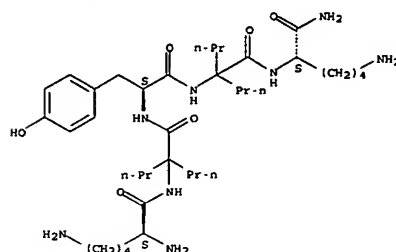
RN 397299-21-7 HCAPLUS
CN L-Alaninamide, L-lysyl-2-(2-methylpropyl)leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



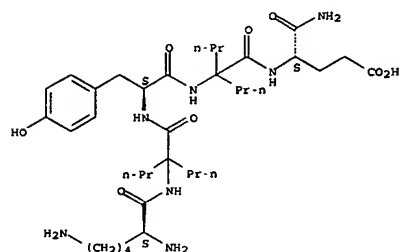
RN 397299-24-0 HCAPLUS
CN L-Lysinamide, L-lysyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



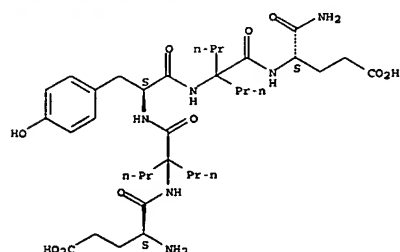
RN 397299-27-3 HCAPLUS
CN L-α-Glutamine, L-lysyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



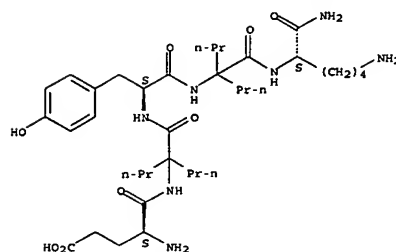
RN 397299-30-8 HCAPLUS
CN L-α-Glutamine, L-α-glutamyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 397299-33-1 HCAPLUS
CN L-Lysinamide, L-α-glutamyl-2-propylnorvalyl-L-tyrosyl-2-propylnorvalyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

ACCESSION NUMBER: 2001:695668 HCAPLUS

DOCUMENT NUMBER: 136:6337

TITLE: Sterically Hindered α,α -Disubstituted α -Amino Acids: Synthesis from α -Nitroacetate and Incorporation into PeptidesAUTHOR(S): Fu, Yanwen; Hammarstrom, Lars G. J.; Miller, Tod L.; Fronczek, Frank R.; McLaughlin, Mark L.; Hammer, Robert P.
CORPORATE SOURCE: Department of Chemistry, Louisiana State University, Baton Rouge, LA, 70803, USA

SOURCE: Journal of Organic Chemistry (2001), 66(21), 7118-7124

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:6337

AB The preparation of sterically hindered and polyfunctional α,α -disubstituted α -amino acids via alkylation of Et nitroacetate and transformation into derivs. ready for incorporation into peptides are described. Treatment of Et nitroacetate with N,N-diisopropylethylamine (DIEA) in the presence of a catalytic amount of tetraalkylammonium salt, followed by the addition of an activated alkyl halide or Michaelacceptor, gives the doubly C-alkylated product in good to excellent yields. Selective nitro reduction with Zn/acetic acid or H₂/Raney Ni gives the corresponding amino ester that, upon saponification, can be protectedwith the fluorenylmethoxycarbonyl (Fmoc) group. The first synthesis of an orthogonally protected, tetrafunctional α,α -disubstituted analog of aspartic acid, 2,2-bis(tert-butylcarboxymethyl)glycine (Bcmg), is described. Also, the sterically demanding α,α -dibenzylglycine (Dbg) has been incorporated into a peptide using solid-phase synthesis. It was found that once sterically congested Dbg

is at the peptide N-terminus, further chain extension becomes very difficult using uronium or phosphonium salts (PyAOP, PyAOP/HOAT, HATU). However, preformed amino acid sym. anhydride couples to N-terminal Dbg in almost quant. yield in nonpolar solvent (dichloroethane-DMP, 9:1).

IT 376630-86-3DP, resin-bound

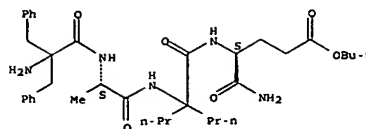
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of a peptide containing the sterically hindered dibenzylglycine)

RN 376630-86-3 HCAPLUS

CN L- α -Glutamine, α -(phenylmethyl)phenylalanyl-L-alanyl-2-propylnorvalyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



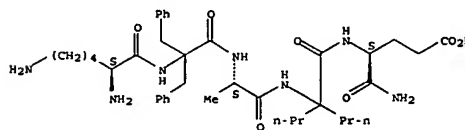
IT 376630-87-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of a peptide containing the sterically hindered dibenzylglycine)

RN 376630-87-4 HCAPLUS

CN L- α -Glutamine, L-lysyl- α -(phenylmethyl)phenylalanyl-L-alanyl-2-propylnorvalyl-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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FILE 'EMBASE' ENTERED AT 16:31:15 ON 25 MAR 2005

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L26 7 FILE MEDLINE

L27 0 FILE BIOSIS

L28 0 FILE EMBASE

TOTAL FOR ALL FILES

L29 7 L14

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L29 ANSWER 1 OF 7 MEDLINE on STN
 AN 2000409248 MEDLINE
 DN PubMed ID: 10861376
 TI Conformational choice at alpha, alpha-di-n-propylglycine residues: helical or fully extended structures?
 AU Kaul R; Banumathi S; Velmurugan D; Rao R B; Balaram P
 CS Molecular Biophysics Unit, Indian Institute of Science, Bangalore-560 012, India.
 SO Biopolymers, (2000 Sep) 54 (3) 159-67.
 Journal code: 0372525. ISSN: 0006-3525.
 CY United States
 DT Journal; Article; (JOURNAL ARTICLE)
 LA English
 FS Priority Journals
 EM 200008
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 Last Updated on STN: 20000907
 Entered Medline: 20000828

L29 ANSWER 2 OF 7 MEDLINE on STN
 AN 96255529 MEDLINE
 DN PubMed ID: 8924628
 TI Peptide design: crystal structure of a helical peptide module attached to a potentially nonhelical amino terminal segment.
 AU Karle I L; Rao R B; Kaul R; Prasad S; Balaram P
 CS Laboratory for the Structure of Matter, Naval Research Laboratory, Washington, DC 20375-5341, USA.
 NC GM30903 (NIGMS)
 SO Biopolymers, (1996 Jul) 39 (1) 75-83.
 Journal code: 0372525. ISSN: 0006-3525.
 CY United States
 DT Journal; Article; (JOURNAL ARTICLE)
 LA English
 FS Priority Journals
 EM 199611
 ED Entered STN: 19961219
 Last Updated on STN: 19961219
 Entered Medline: 19961104

L29 ANSWER 3 OF 7 MEDLINE on STN
 AN 95210571 MEDLINE
 DN PubMed ID: 7696549
 TI beta-turn conformations in crystal structures of model peptides containing alpha, alpha-di-n-propylglycine and alpha, alpha-di-n-butylglycine.
 AU Criama M; Valle G; Toniolo C; Prasad S; Rao R B; Balaram P
 CS Department of Organic Chemistry, University of Padova, Italy.
 SO Biopolymers, (1995 Jan) 35 (1) 1-9.
 Journal code: 0372525. ISSN: 0006-3525.
 CY United States
 DT Journal; Article; (JOURNAL ARTICLE)
 LA English
 FS Priority Journals
 EM 199505
 ED Entered STN: 19950510
 Last Updated on STN: 19950510
 Entered Medline: 19950504

L29 ANSWER 4 OF 7 MEDLINE on STN
 AN 94128079 MEDLINE
 DN PubMed ID: 8297351
 TI Coexistence of folded and extended conformations of a tripeptide containing alpha, alpha-di-n-propylglycine in crystals.
 AU Prasad S; Mitra S; Subramanian E; Velmurugan D; Rao R B; Balaram P
 CS Molecular Biophysics Unit, Indian Institute of Science, Bangalore.
 SO Biochemical and biophysical research communications, (1994 Jan 28) 198 (2) 424-30.
 Journal code: 0372516. ISSN: 0006-291X.
 CY United States
 DT Journal; Article; (JOURNAL ARTICLE)
 LA English
 FS Priority Journals
 EM 199403
 ED Entered STN: 19940314
 Last Updated on STN: 19960129
 Entered Medline: 19940301

L29 ANSWER 5 OF 7 MEDLINE on STN

AN 92011615 MEDLINE
DN PubMed ID: 1917981
TI Rotation and interaction with epoxide hydrazide of cytochrome P-450 in proteoliposomes.
AU Etter H U; Richter C; Ohta Y; Winterhalter K H; Sasabe H; Kawato S
CS Institute of Physics, College of Arts and Sciences, University of Tokyo, Japan.
SO Journal of biological chemistry. (1991 Oct 5) 266 (28) 18600-5.
CY United States
DT Journal; Article; (JOURNAL ARTICLE)
LA English
FS Priority Journals
EM 199111
ED Entered STN: 19920124
Last Updated on STN: 19970203
Entered Medline: 19911108

L29 ANSWER 6 OF 7 MEDLINE on STN

AN 83237234 MEDLINE
DN PubMed ID: 6862769
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EM 198308
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=> s hammer r?/au;s fu y?/au;s aucoin j?/au;s miller t?/au;s mclaughlin m?/au;s
mccorley r?/au

L30 511 FILE MEDLINE
L31 736 FILE BIOSIS
L32 401 FILE EMBASE

TOTAL FOR ALL FILES

L33 1648 HAMMER R?/AU

L34 1151 FILE MEDLINE
L35 1413 FILE BIOSIS
L36 952 FILE EMBASE

TOTAL FOR ALL FILES

L37 3516 FU Y?/AU

L38 13 FILE MEDLINE
L39 18 FILE BIOSIS
L40 10 FILE EMBASE

TOTAL FOR ALL FILES

L41 41 AUCOIN J?/AU

L42 2124 FILE MEDLINE
L43 2841 FILE BIOSIS
L44 1596 FILE EMBASE

TOTAL FOR ALL FILES

L45 6561 MILLER T?/AU

L46 494 FILE MEDLINE
L47 703 FILE BIOSIS
L48 452 FILE EMBASE

TOTAL FOR ALL FILES

L49 1649 MCLAUGHLIN M?/AU

L50 0 FILE MEDLINE
L51 0 FILE BIOSIS
L52 0 FILE EMBASE

TOTAL FOR ALL FILES

L53 0 MCCORLEY R?/AU

=> s l49 and l45 and l41 and l37 and l33

L54 0 FILE MEDLINE
L55 0 FILE BIOSIS
L56 0 FILE EMBASE

TOTAL FOR ALL FILES

L57 0 L49 AND L45 AND L41 AND L37 AND L33

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